10/713893

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS 4	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS 5	FEB 05	German (DE) application and patent publication number format changes
NEWS 6	MAR 03	MEDLINE and LMEDLINE reloaded
NEWS 7	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS 8	MAR 03	FRANCEPAT now available on STN
NEWS 9	MAR 29	Pharmaceutical Substances (PS) now available on STN
NEWS 10	MAR 29	WPIFV now available on STN
NEWS 11	MAR 29	New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS 12	APR 26	PROMT: New display field available
NEWS 13	APR 26	IFIPAT/IFIUDB/IFICDB: New super search and display field available
NEWS 14	APR 26	LITALERT now available on STN
NEWS 15	APR 27	NLDB: New search and display fields available
NEWS 16	May 10	PROUSDDR now available on STN
NEWS 17	May 19	PROUSDDR: One FREE connect hour, per account, in both May and June 2004
NEWS 18	May 12	EXTEND option available in structure searching
NEWS 19	May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS 20	May 17	FRFULL now available on STN
NEWS 21	May 27	STN User Update to be held June 7 and June 8 at the SLA 2004 Conference
NEWS 22	May 27	New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus
NEWS 23	May 27	CAplus super roles and document types searchable in REGISTRY
NEWS 24	May 27	Explore APOLLIT with free connect time in June 2004

NEWS EXPRESS	MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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10/713893

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FILE 'HOME' ENTERED AT 10:56:40 ON 15 JUN 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:56:51 ON 15 JUN 2004
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 JUN 2004 HIGHEST RN 693217-50-4
DICTIONARY FILE UPDATES: 14 JUN 2004 HIGHEST RN 693217-50-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading 10713893.str

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR

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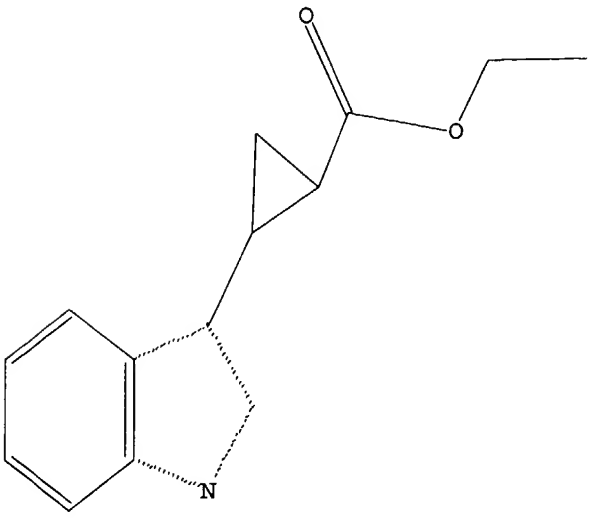

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
FULL SEARCH INITIATED 10:57:19 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1380 TO ITERATE

100.0% PROCESSED 1380 ITERATIONS 9 ANSWERS
SEARCH TIME: 00.00.01

L2 9 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	155.63

FILE 'CAPLUS' ENTERED AT 10:57:27 ON 15 JUN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 15 Jun 2004 VOL 140 ISS 25
FILE LAST UPDATED: 14 Jun 2004 (20040614/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l2
L3             4 L2

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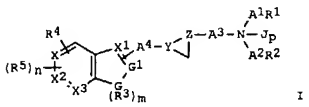
L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:777890 CAPLUS
DOCUMENT NUMBER: 137:294879
TITLE: Preparation of cyclopropylindoles as selective serotonin reuptake inhibitors
INVENTOR(S): Mattson, Ronald; Denhart, Derek; Deskus, Jeffrey; Ditta, Jonathan; Marcin, Lawrence; Epperson, James; Catt, John; King, Dalton; Higgins, Mendi
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 161 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002079152	A1	20021010	WO 2002-US6627	20020305
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003073849	A1	20030417	US 2002-91232	20020305
EE 200300478	A	20031215	EE 2003-478	20020305
EP 1373203	A1	20040102	EP 2002-709778	20020305
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003004307	A	20031127	NO 2003-4307	20030926

PRIORITY APPLN. INFO.: US 2001-279888P P 20010329
US 2001-293122P P 20010523
US 2001-327804P P 20011009
WO 2002-US6627 W 20020305
OTHER SOURCE(S): MARPAT 137:294879
GI



I

AB Treatment of depression, anxiety disorders, premature ejaculation, chronic pain, obsessive-compulsive disorder, feeding disorders, premenstrual

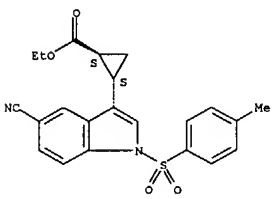
L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
dysphoric disorder, panic disorders and psychotic disorders including bipolar disorder and schizophrenia. Title compds. [I; A1, A2 = alkylene, bond; A3 = alkylene, alkylidene; A4 = alkylene, bond; X, X1, X2 X3 = C, CH; J = alkyl; p = 0, 1; R1, R2 = H, alkyl, (substituted) cycloalkyl, Ph, PhO , NHCO2alkyl, alkylNHCO2, thienyl, furanyl, pyrrolyl, pyrrolinyl, pyrrolidinyl, imidazolyl, imidazolinyl, imidazolidinyl, pyrazolyl, pyrazolinyl, pyrazolidinyl, pyridyl, pyrimidinyl, piperidinyl, piperazinyl, morpholino, adamantyl, indolyl, isoindolyl, indolinyl, quinolinyl, dihydroquinolinyl, tetrahydroquinolinyl, isoquinolinyl, dihydroisoquinolinyl, tetrahydroisoquinolinyl; or A1R1 and A2R2 together with the N to which they are attached = pyrrolyl, pyrrolinyl, pyrrolidinyl, imidazolyl, imidazolinyl, imidazolidinyl, pyrazolyl, pyrazolinyl, pyrazolidinyl, pyridyl, pyrimidinyl, piperidinyl, piperazinyl, morpholino, adamantyl, indolyl, isoindolyl, indolinyl, quinolinyl, dihydroquinolinyl, tetrahydroquinolinyl, isoquinolinyl, dihydroisoquinolinyl, tetrahydroisoquinolinyl and are optionally substituted with halo, alkyl, alkoxy, cyano, benzyl; R3 = H, alkyl; m = 0, 1; R4, R5 = H, cyano, halo, NO2, perfluoroalkyl; n = 0, 1; G = N, O, S; G1 = N, CH; Y = DH; D = C; Z = EH; E = C; with provisos], were prepd. for treatment of depression, anxiety, premature ejaculation, chronic pain, obsessive-compulsive disorder, feeding disorders, premenstrual dysphoric disorder, panic disorder, and psychotic disorders including bipolar disorder and schizophrenia. Thus, a soln. of di-Et (N-methoxy-N-methylcarbamoylmethyl)phosphonate in THF was added to a stirred suspension of sodium hydride in THF at 0.degree.; the reaction was warmed to room temp. and was stirred for 2 h; After cooling to 0.degree., (5-cyano-1-(p-toluenesulfonyl)indol-3-yl]carboxaldehyde (prepn. given) was added. The resulting mixt. was stirred at 0.degree. for 1 h to give 91% (E)-[5-cyano-1-(p-toluenesulfonyl)indol-3-yl]-N-methoxy-N-methylacrylamide. This was converted to trans-2-(5-cyanoindol-3-yl)-1-(N,N-dimethylaminomethyl)cyclopropane in several steps. The latter showed serotonin transporter binding with Ki<1 nM.

IT **468717-95-5P 468717-96-6P 468717-97-7P**
468718-34-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of cyclopropylindoles as selective serotonin reuptake inhibitors)

RN 468717-95-5 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[5-cyano-1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl]-, ethyl ester, (1S,2S)- (9CI) (CA INDEX NAME)

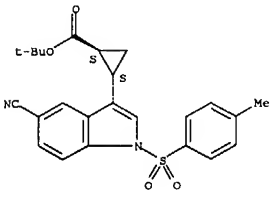
Absolute stereochemistry.

L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

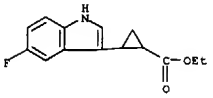


RN 468717-96-6 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[5-cyano-1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl]-, 1,1-dimethylethyl ester, (1S,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

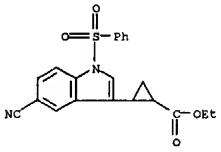


RN 468717-97-7 CAPLUS
CN Cyclopropanecarboxylic acid, 2-(5-fluoro-1H-indol-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 468718-34-5 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[5-cyano-1-(phenylsulfonyl)-1H-indol-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Application -

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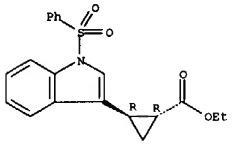
L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:634359 CAPLUS
DOCUMENT NUMBER: 129:330620
TITLE: Stereoselective synthesis of cis-2 and trans-2-(indol-3-yl)cyclopropylamines, -carboxylic acids, and esters
AUTHOR(S): Raj, Tilak T.; Eftink, Maurice R.
CORPORATE SOURCE: Department of Chemistry, University of Mississippi, University, MS, 38677, USA
SOURCE: Synthetic Communications (1998), 28(20), 3787-3794
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:330620
AB We report a general route for the synthesis of E and Z isomers of indol-3-ylcyclopropylamines, -carboxylic acids, and esters. The route involves reaction of vinylindole with Et diazoacetate, chromatog. sepn. of the E and Z stereoisomers of the resulting cyclopropane esters, hydrolysis to form the E and Z cyclopropane acids, and formation of amines by the Curtius reaction.
IT **215055-35-9P 215055-36-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective synthesis of indolylcyclopropylamines, -carboxylic acids, and esters)
RN 215055-35-9 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[1-(phenylsulfonyl)-1H-indol-3-yl]-, ethyl ester, (1R,2R)-rel- (9CI) (CA INDEX NAME)

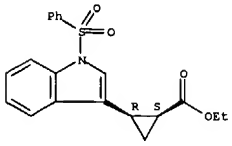
Relative stereochemistry.



RN 215055-36-0 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[1-(phenylsulfonyl)-1H-indol-3-yl]-, ethyl ester, (1R,2S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

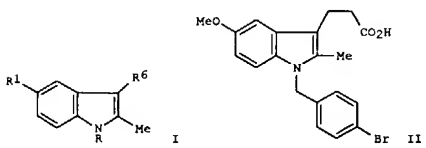


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:69796 CAPLUS
DOCUMENT NUMBER: 126:89260
TITLE: Preparation of N-benzylindole-3-propanoates as cyclooxygenase-2 inhibitors
INVENTOR(S): Lau, Cheuk K.; Black, Cameron; Guay, Daniel; Gauthier, Jacques-Yves; Leblanc, Yves; Roy, Patrick; Ducharme, Yves; Hamel, Pierre
PATENT ASSIGNEE(S): Merck Frosst Canada Inc., Can.; Lau, Cheuk K.; Black, Cameron; Guay, Daniel; Gauthier, Jacques-Yves; Leblanc, Yves; Roy, Patrick; Ducharme, Yves; Hamel, Pierre
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9637469	A1	19961128	WO 1996-CA326	19960521
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5604253	A	19970218	US 1995-445624	19950522
CA 2219111	AA	19961128	CA 1996-2219111	19960521
AU 9656832	A1	19961211	AU 1996-56832	19960521
PRIORITY APPLN. INFO.:			US 1995-445624	19950522
			WO 1996-CA326	19960521

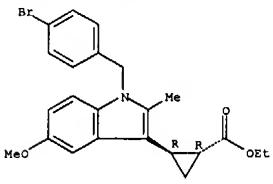
OTHER SOURCE(S): MARPAT 126:89260
GI



AB Title compds. [I; R = CH2ZR7; R1 = OMe, OCH2F, halo, Me, etc.; R6 = CR2R3CR4R5COR8; R2-R5 = H, F, Me, CF3, OH, OMe, etc.; R7 = Br, Cl, SMe, SCHF2, etc.; R8 = OH, alkoxy, (di)(alkyl)amino, etc.; Z = (monofluoro)-1,4-phenylene] were prepd. Thus, 4-(MeO)C6H4NHNH2 was cyclocondensed with MeCO(CH2)3CO2H and the product N-alkylated by 4-BrC6H4CH2Br to give title compd. II. Data for biol. activity of 2

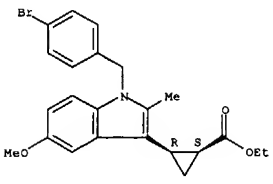
L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
prepd. I were given.
IT **185516-71-6P 185516-72-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-benzylindole-3-propanoates as cyclooxygenase-2 inhibitors)
RN 185516-71-6 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[1-[(4-bromophenyl)methyl]-5-methoxy-2-methyl-1H-indol-3-yl]-, ethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 185516-72-7 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[1-[(4-bromophenyl)methyl]-5-methoxy-2-methyl-1H-indol-3-yl]-, ethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



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L3 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1965:488799 CAPLUS
DOCUMENT NUMBER: 63:88799
ORIGINAL REFERENCE NO.: 63:16308a-h,16309a-c
TITLE: Indolyl aliphatic acids
INVENTOR(S): Sarett, Lewis H.; Shen, Tsung Y.
PATENT ASSIGNEE(S): Merck & Co., Inc.
SOURCE: 23 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3196162		19650720	US	19590903

GI For diagram(s), see printed CA Issue.
AB The title compds. (Ia) are antiinflammatory and sunscreening agents, some of which have antipyretic action p-Methoxyphenyl-hydrazine-HCl (25 g.) and 20 g. Et .alpha.-methyllevulinate in 250 ml. 2N ethanolic HCl was refluxed to give Et .alpha.-(2-methyl-5-methoxy-3-indolyl)propionate (I), b0.25 150-3.degree. m. 53-5.5.degree.. Et .alpha.-(2,5-dimethyl-3-indolyl)propionate, b1 150-170.degree. (bath temp.), m. 88-8.5.degree. (petroleum ether), was similarly prepd. I was hydrolyzed to the free acid, m. 163-5.degree. (aq. EtOH). I (13 g.) in 75 ml. dimethylformamide (II) was added to a stirred suspension of 2.5 g. of a NaH-mineral oil dispersion (contg. 52 wt.-% NaH) in 100 ml. II. The mixt. was stirred at room temp. for 1 hr., then 8 g. o-chlorobenzyl chloride was added slowly. The resulting mixt. kept at room temp. 14 hrs. gave Et .alpha.-(1-o-chlorobenzyl-2-methyl-5-methoxy-3-indolyl)propionate (III), 118-122.degree.. III was sapond. to give the free acid, m. 191-2.degree. (benzene). In a similar manner, the following Ia (R1 = R6 = H, R2 = R3 = Me), were prepd. (R, R4, R5, and m.p. given): H, OCH3, m-Cl, 191-2.degree.; Et OCH3, o,p-di-Cl, 130.degree.; H, OCH3, o,p-di-Cl 184-6.degree.; Et CH3, p-Cl, 89-90.degree.; H, CH3, p-Cl, 185-6.degree.; H, OCH3, p-OCH3, 153-3.5.degree.; H, OCH3, p-F, 164-5.degree.; Et, OCH3, p-SCHF2, -; H, OCH3, p-SCHF2, 132-3.degree.; Et, OCH3, p-OCHF2, -; H, OCH3, p-OCHF2, 144-6.degree.; H, OCH3, p-Cl, 163-5.degree.; H, OCH3, p-SCH3, 170-1.degree.; H, OCH3, p-SCH2Ph, 150-3.degree.; H, OCH3, p-SH, 161-4.degree.; H, OCH3, p-SOCH3, 194-6.degree.; H, OCH3, p-SOCH3, 98-101.degree.; Et, CH3, p-SCH3, 111-13.degree.; H, CH3, p-SCH3, 184-7.degree.; H, OCH3, p-CF3, 176-80.degree.; Et, OCH3, p-CN, 72.degree.; H, OCH3, p-CN, 197-200.degree.; H, OCH3, p-COOH, 230-4.degree.; Et, OCH3, p-NO2, 102-3.degree.; H, OCH3, p-NO2, 188-90.degree.; H, OCH3, p-N(CH3)2, 193-4.degree.; Et, OCH3, p-SO2N- (CH3)2, 140.degree.; H, OCH3, p-SO2N(CH3)2, 156.5-8.5.degree.; H, OCH3, p-SEt, 126-33.degree.. .alpha.-(1-p-Methylthiobenzyl-2-methyl-5-methoxy-3-indolyl)propionic acid (IV) (8.8 g.) and 14 g. urea was heated at 190-200.degree. for 1.5 hrs. to give the amide of IV m. 143-4.degree.. IV (4.45 g.) was slurried in 12 ml. MeOH, 5.2 ml. 2.21N NaOCH3 in MeOH was added under N and the soln. was

L3 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
concd. to a sirup to give the Na salt of IV. The Al salt of IV was also prepd. In the prepn. of .alpha.-(1-p-chlorobenzyl-2-methyl-5-methylthio-3-indolyl)propionic acid (V), N-p-chlorobenzylidene-4-mercaptoaniline (VI) was prepd. from 53.3 g. p-aminothiophenol in 200 ml. EtOH and 60.2 g. p-chlorobenzaldehyde in 200 ml. EtOH. VI (58.2 g.) was treated with 11.52 g. NaH (52% in mineral oil) in 400 ml. II and 35 g. CH3I in 100 ml. II to give N-p-chlorobenzylidene-4-methylthioaniline (VII). VII was treated with NaBH4 to give N-p-chlorobenzyl-4-methylthioaniline. The corresponding nitroso deriv. was prepd. and reduced to give N'-p-chlorobenzyl-4-methylthiophenylhydrazine-HCl m. 140.5.degree. (EtOH). Ring closure of the hydrazine with Et .alpha.-methyllevulinate gave the Et ester of V as a yellow sirup. The ester was sapond. to V, m. 154-60.degree. (acetonitrile). The following intermediates were also prepd.: p-difluoromethylthiotoluene, b0.35 32-4.degree., n23D 1.5092; p-difluoromethylthiobenzyl bromide, b0.3 74.degree., n22D 1.5622; p-difluoromethoxytoluene, b. 165-7.degree.; p-difluoromethoxybenzyl bromide, b0.2 50-2.degree. n23D 1.5170; p-methylthiobenzyl chloride b1 99.degree.; p-trifluoromethylbenzaldehyde, b12 64.degree., n22D 1.4633; p-trifluoromethylbenzyl chloride, b12 68.degree., n22D 1.4622; p-trifluoromethylbenzyl alcohol, b12 85-8.degree., n22D 1.4562; N'-(p-nitrobenzyl)-N-(p-methoxyphenyl)hydrazine-HCl, 147-150.degree.; NN-dimethyl-p-bromomethylbenzenesulfonamide, 85-108.degree.; p-ethylthiobenzyl chloride, b. 92-103.degree./250-400 m.mu.; phenylthiobenzyl chloride (39%, by analysis), b. 85-145.degree./50 m.mu.; N-(o,p-dimethoxybenzyl)-p-methoxyaniline, 126-7.degree.; N'(o,p-dimethoxybenzyl)-N-(p-methoxyphenyl)hydrazine-HCl, 136-9.degree.. Also prepd. were the following Ia (R3 = R6 = H) (R, R1 , R2 , R4 , R5, and m.p. given): H, H, H, OCH3, p-Cl, 144-8.degree.; H, H, CF3, OCH3, p-SCH3, 168-72.degree.; H, H, CH3, OCH3 , p-SCH3, 155-6.5.degree.; Et, H, CH3, OCH3, p-SCH3, 94-5.degree.; H, H, H, OCH3, p-Cl, 146-8.degree.; H, H, COOH, OCH3, p-Cl, 213-18.degree.; Et, H, COOH, OCH3, p-Cl, 214-16.degree.; H, H, H, OCH3, p-Cl, 146-8.degree.. The following intermediates were prepd.: 2-ethyl-5-methylindole, 72-4.degree.; 2-ethyl-5-gramine, m. 100-3.degree.; .alpha.-(2-ethyl-5-methyl-3-indolyl)acetic acid, m. 137-8.degree.; Et 2-methyl-5-chloro-3-indolylacetate, m. 85.degree.. Oxalyl chloride (19 g.) in 25 ml. ether was added rapidly to an ice cold mixt. of 35.7 g. 1-p-chlorobenzyl-2-methyl-5-methoxyindole in 900 ml. ether and the mixt. stirred for 2 hrs.; the solid recovered was added to 660 ml. EtOH and treated with 0.12 moles NaCl. After being stirred 1 hr., the mixt. was poured into an equal vol. of H2 O contg. 10 ml. acetic acid to give Et .alpha.-(1-p-chlorobenzyl-2-methyl-5-methoxy-3-indolyl)oxoacetate (VIII), m. 113.degree.. VIII (38 g.) in 260 ml. benzene and 500 ml. dry ether was added to a mixt. of 500 ml. dry ether, 36.02 g. triphenylphosphonium bromide, and 94.36 ml. 1.10N BuLi under N. After stirring 1 hr., the mixt. was heated in a closed flask at 65-70.degree. for 5 hrs. to give Et .alpha.-(1-p-chlorobenzyl-2-methyl-5-methoxy-3-indolyl)acrylate (IX), m. 94-5.degree.. The free acid m. 187-8.degree.

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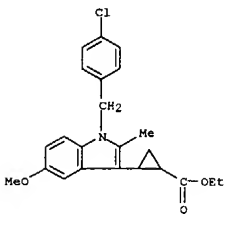
(EtOH). IX (1.8 g.) in 10 ml. dry tetrahydrofuran was added to 4 g. diiodomethane, 1.25 g. Zn-Cu couple, and 0.2 g. iodine in 20 ml. dry tetrahydrofuran. The mixt. was refluxed to give Et .alpha.-(1-p-chlorobenzyl-2-methyl-5-methoxy-3-indolyl)cyclopropa-necarboxylate (X). X was hydrolyzed to the free acid, m. 220-4.degree.. In addition, racemic and optically active forms were prepd.: (+)-.alpha.-(1-p-methylthiobenzyl-2-methyl-5-methoxy-3-indolyl)pr-opionic acid (+)-.alpha.-phenethylamine salt m. 170-2.degree., [.alpha.]22 D 38.5.degree. (c 1, MeOH); the free acid of the preceding salt, m. 118.degree., [.alpha.]22 D 62.4.degree. (c 0.94, EtOH); (+)-.alpha.-(1-p-chlorobenzyl-2-methyl-5-methoxy-3-indolyl)propionic acid (+)-.alpha.-phenethylamine salt, m. 148-9.degree., [.alpha.]22 D 43.degree. (c 1, MeOH); the free acid (XI) of the preceding salt m. 156-7.degree., [.alpha.]22 D 60.degree. (c 1, EtOH); the dl form of XI; the (-) form of XI, m. 153-4.degree., [.alpha.]23D -58.degree. (c 1, EtOH); (-)-.alpha.-(1-p-chlorobenzyl-2-methyl-5-methoxy-3-indolyl)propionic acid (-)-.alpha.-phenethylamine salt. Racemic forms of .alpha.-[1-p-fluoro(and methoxy)benzyl-2-methyl-5-methoxy-3-indolyl]propionic acids and of 1-(1-p-methylthio-benzyl-2,5-dimethyl-3-indolyl)propionic acid were also prepd.
IT **3446-84-2**, Cyclopropanecarboxylic acid, 2-[1-(p-chlorobenzyl)-5-methoxy-2-methylindol-3-yl]-, ethyl ester (prepn. of)
RN 3446-84-2 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[1-(p-chlorobenzyl)-5-methoxy-2-methylindol-3-yl]-, ethyl ester (7CI, 8CI) (CA INDEX NAME)



Kamal Saeed

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